PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Artcle 36 and Rule 70)

Applicant's or agent's file reference PC04021-LG	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. **PCT/KR2004/002929**	International filing date *(day/month/year)* **12 NOVEMBER 2004 (12.11.2004)**	Priority date *(day/month/year)* 12 NOVEMBER 2003 (12.11.2003)

International Patent Classification (IPC) or national classification and IPC

C07D 207/16(2006.01)i, C07D 207/14(2006.01)i

Applicant

LG LIFE SCIENCES LTD. et al

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
   a. ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:
      ☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:
   - ☒ Box No. I Basis of the report
   - ☐ Box No. II Priority
   - ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - ☐ Box No. IV Lack of unity of invention
   - ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - ☐ Box No. VI Certain documents cited
   - ☐ Box No. VII Certain defects in the international application
   - ☒ Box No. VIII Certain observations on the international application

Date of submission of the demamd	Date of completion of this report
07 JUNE 2005 (07.06.2005)	01 FEBRUARY 2006 (01.02.2006)
Name and mailing address of the IPEA/KR Korean Intellectual Property Office 920 Dunsan-dong, Seo-gu, Daejeon 302-701, Republic of Korea Facsimile No. 82-42-472-7140	Authorized officer KIM, Hee Sue Telephone No. 82-42-481-5605

Form PCT/IPEA/409 (cover sheet) (April 2005)

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/KR2004/002929

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:

- ☐ international search (under Rules 12.3 and 23.1(b))
- ☐ publication of the international application (under Rule 12.4)
- ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the **elements** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this reort as "originally filed" and are not annexed to this report):*

☒ the international application as originally filed/furnished

☐ the description:
pages _____ as originally filed/furnished
pages* _____ received by this Authority on _____
pages* _____ received by this Authority on _____

☐ the claims:
pages _____ as originally filed/furnished
pages* _____ as amended (together with any statment) under Article 19
pages* _____ received by this Authority on _____
pages* _____ received by this Authority on _____

☐ the drawings:
pages _____ as originally filed/furnished
pages* _____ received by this Authority on _____
pages* _____ received by this Authority on _____

☐ the sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing *(specify)* : _____
- ☐ any table(s) related to sequence listing *(specify)* : _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing *(specify)*: _____
- ☐ any table(s) related to sequence listing *(specify)* : _____

** If item 4 applies, some or all of those sheets may be marked "superseded."*

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-20	YES
	Claims	none	NO
Inventive step (IS)	Claims	none	YES
	Claims	1-20	NO
Industrial applicability (IA)	Claims	1-20	YES
	Claims	none	NO

2. Citations and explanations (Rule 70.7)

Reference is made to the following documents:

D1: WO 01/070708 A1

D2: WO 03/007949 A1

D3: WO 02/068388 A2

D4: WO 00/074679 A1

D5: WO 02/059107 A1

The present invention relates to a compound of formula (1), pharmaceutically acceptable salt, hydrate, solvate and isomer thereof effective as an agonist for melanocortin receptor.

D1 relates to novel substituted piperazine compounds which can be useful for treatment, control or prevention of diseases and disorders responsive to the activation of the human melanocortin-4 receptor such as obesity, diabetes and sexual dysfunction including erectile dysfunction.

D2 discloses novel bridged piperazine derivatives which can be useful for treatment, control or prevention of diseases and disorders responsive to the activation of the human melanocortin-4 receptor.

D3 describes novel 4-substituted N-acylated piperazine derivatives which can be useful for treatment, control or prevention of diseases and disorders responsive to the activation of the human melanocortin-4 receptor such as obesity, diabetes and sexual dysfunction including erectile dysfunction.

D4 relates to novel substituted piperazine compounds used as agonists of the human melanocortin receptors.

D5 relates to melanocortin receptor agonists of formula I which are useful for in treatment of obesity, diabetes and male and female sexual dysfunction.

(See Supplemental Box for the next parts.)

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Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

A) The present claims 1, 2, 9, 14, 15 and 16 does not meet the requirements of Article 6 PCT, because the terms "hetrocycle", "aryl", "hetroaryl", "aryloxy", "arylthio", "arylcarbonyl", "arylsulfonyl", "cycloalkyl" and so on in the above claims without C-atom content or further definition are not fully supported by the description.
B) "...hydrate, solvate, or isomer..." in claims 2-16 do not appear to be properly supported by the description including the examples.
C) "An agonistic composition of melanocortin receptor..." in claim 16 does not define the matter for which protection is sought clearly due to the functional expression without defined, real treatment forms of pathological conditions.
D) "The composition···the protection and treatment of obesity." in claim 17, "The composition···the prevention and treatment of diabetes." in claim 18, "The composition···the protection and treatment of inflammation." in claim 19 and "The composition···the protection and treatment of erectile dysfunction." in claim 20 do not appear to be properly supported by the description, because the examples and the experiments in the description do not provide sufficient experimental data to demonstrate the effects.

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.
Continuation of:

Box V.

1. Novelty

The subject matter of present claims 1-20 is novel over the above D1-D5 and meets the criteria set out in PCT Article 33(2), because none of the prior art describes the compound of formula (1) recited in the present claims.

2. Inventive step

The compound of formula (1) in the present claims 1-20 can be the same structure as the above D1 in case of the compound of formula I in D1 wherein R1 is hydrogen, C1-C8 alkyl, (CHR7)n-C3-6 cycloalkyl, (CHR7)n-aryl or (CHR7)n-hetroaryl; R7 is hydrogen, C1-C8 alkyl or (CH2)n-aryl; X is (CH2)nNR8C(O)R8, (CH2)nNR8CO2R8, (CH2)nNR8C(O)N(R8)2 or (CH2)nN(R8)(R8); Y is hydrogen; and R8 is hydrogen, (CH2)n-alkyl, (CH2)n-heteroaryl or (CH2)nC3-7 cylcloalkyl; but these compounds are not concretely disclosed in D1.

Such a specification can be regarded as inventive, only if the specific compounds presents unexpected effects or properties.
However there is no evidence or provable experimental data in the description of the present invention for that the specific compounds of formula (1) are far more effective than the compounds of formula I in D1.
Accordingly, claims 1-20 can be easily invented by the skilled man according to D1 and they do not involve an inventive step (Article 33(3) PCT).

3. Industrial applicability

Claims 1-20 also meet the criteria set out in PCT Article 33(4) and consequently, these claims are considered to be industrially applicable.